

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application of:

Attorney Docket No. 030863-00002

Hans-Peter BUCHSTALLER et al.

Confirmation No.: 4279

Application No.: 10/532,574

Art Unit: 1625

Patent No.: 7,589,112 B2

Examiner: MORRIS, Patricia L.

Filed: April 25, 2005

For: METHYLENE UREA DERIVATIVES

REQUEST FOR EXPEDITED ISSUANCE OF CERTIFICATE OF CORRECTION
PURSUANT TO 37 C.F.R. § 1.322

Attention: Certificate of Correction Branch
Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

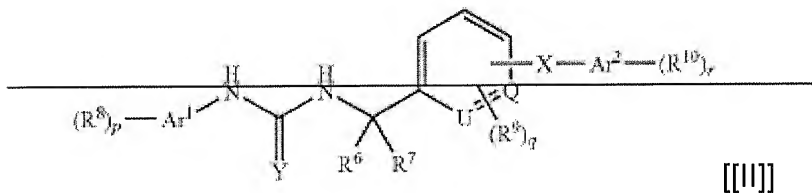
July 1, 2010

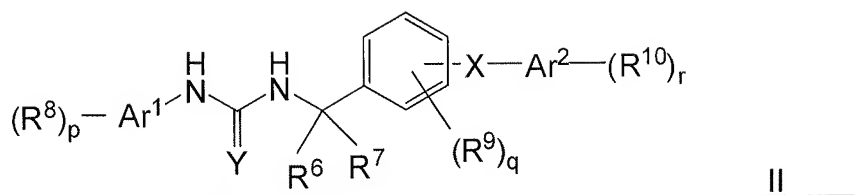
Sir:

This request is being made in order to correct an error in claim 1. Specifically, the ring structure in formula II of claim 1 contains “U” and “Q” in error. Please amend claim 1 as follows:

Claim 1.

A compound of formula II,





wherein

Ar¹ is phenyl,

Ar² is pyridinyl,

R⁶, R⁷ are independently H or A,

R⁸, R⁹ and R¹⁰ are independently selected from the group consisting of H, A, cycloalkyl comprising 3 to 7 carbon atoms, Hal, CH₂Hal, CH(Hal)₂, C(Hal)₃, NO₂, (CH₂)_nCN, (CH₂)_nNR¹¹R¹², (CH₂)_nO(CH₂)_kNR¹¹R¹², (CH₂)_nNR¹¹(CH₂)_kNR¹¹R¹², (CH₂)_nO(CH₂)_kOR¹¹, (CH₂)_nNR¹¹(CH₂)_kOR¹², (CH₂)_nCOOR¹³, (CH₂)_nCOR¹³, (CH₂)_nCONR¹¹R¹², (CH₂)_nNR¹¹COR¹³, (CH₂)_nNR⁸CONR¹¹R¹², (CH₂)_nNR¹¹SO₂A, (CH₂)_nSO₂NR¹¹R¹², (CH₂)_nS(O)_uR¹³, (CH₂)_nOC(O)R¹³, (CH₂)_nCOR¹³, (CH₂)_nSR¹¹, CH=N-OA, CH₂CH=N-OA, (CH₂)_nNHOA, (CH₂)_nCH=N-R¹¹, (CH₂)_nOC(O)NR¹¹R¹², (CH₂)_nNR¹¹COOR¹³, (CH₂)_nN(R¹¹)CH₂CH₂OR¹³, (CH₂)_nN(R¹¹)CH₂CH₂OCF₃, (CH₂)_nN(R¹¹)C(R¹³)HCOOR¹², (CH₂)_nN(R¹¹),C(R¹³)HCOOR¹¹, (CH₂)_nN(R¹¹)CH₂CH₂N(R¹²)CH₂COOR¹¹, (CH₂)_nN(R¹¹)CH₂CH₂NR¹¹R¹², CH=CHCOOR¹³, CH=CHCH₂NR¹¹R¹², CH=CHCH₂NR¹¹R¹², CH=CHCH₂OR¹³, (CH₂)_nN(COOR¹³)COOR¹⁴, (CH₂)_nN(CONH₂)COOR¹³, (CH₂)_nN(CONH₂)CONH₂, (CH₂)_nN(CH₂COOR¹³)COOR¹⁴, (CH₂)_nN(CH₂CONH₂)COOR¹³, (CH₂)_nN(CH₂CONH₂)CONH₂, (CH₂)_nCHR¹³COR¹⁴, (CH₂)_nCHR¹³COOR¹⁴, (CH₂)_nCHR¹³CH₂OR¹⁴, (CH₂)_nOCN and (CH₂)_nNCO,

wherein

R^{11} , R^{12} are independently selected from the group consisting of H, A, and (CH_2) ,

R^{13} , R^{14} are independently selected from the group consisting of H, Hal, A, and $(CH_2)_mAr^4$,

A is selected from the group consisting of alkyl, alkenyl, cycloalkyl, alkylencycloalkyl, alkoxy, and alkoxyalkyl,

Ar^3 , Ar^4 are independently aromatic hydrocarbon residues comprising 5 to 12 carbon atoms which are optionally substituted by one or more substituents, selected from the group consisting of A, Hal, NO_2 , CN, OR^{15} , $NR^{15}R^{16}$, $COOR^{15}$, $CONR^{15}R^{16}$, $NR^{15}COR^{16}$, $NR^{15}CONR^{15}R^{16}$, $NR^{16}SO_2A$, COR^{15} , $SO_2R^{15}R^{16}$, $S(O)_uA$ and $OOCR^{15}$,

R^{15} , R^{16} are independently selected from the group consisting of H, A, and $(CH_2)_mAr^6$, wherein

Ar^6 is a 5- or 6-membered aromatic hydrocarbon which is optionally substituted by one or more substituents selected from the group consisting of methyl, ethyl, propyl, 2-propyl, tert.-butyl, Hal, CN, OH, NH_2 and CF_3 ,

k, n and m are independently of one another 0, 1, 2, 3, 4, or 5;

X is O,

Y is O or S,

p, r are independently 0, 1, 2, 3, 4 or 5,

q is 0, 1, 2, 3 or 4,

u is 0, 1, 2 or 3,

and

Hal is selected from the group consisting of F, Cl, Br and I;

and a pharmaceutically acceptable salt thereof.

Patentee submits that the errors in claim 1 were incurred in the Letters Patent through the fault of the USPTO. Specifically, the Letters Patent does not accurately reflect the "Amendments to the Claims" section of the Amendment and Response filed on October 16, 2008, and entered by the Examiner per the Final Office Action dated December 17, 2008. The amendments were approved by the Examiner but are not correctly entered in the issued patent.


Patentee has enclosed as "Attachment A," a copy of pages 2-7 of the "Amendments to the Claims" section of the Amendment and Response filed on October 16, 2008, and a marked up copy of Column 356 of USP 7,589,112 B2, for expedited issuance of a certificate of correction.

As discussed above, the errors now sought to be corrected are inadvertent errors incurred through the fault of the USPTO the correction of which does not involve new matter or require reexamination.

Transmitted herewith is a proposed Certificate of Correction effecting such amendment. Patentee respectfully solicits the granting of the requested Certificate of Correction.

Because this correction was necessitated due to an error by the USPTO, it is believed that no fees are due. However, in the event this is not the case, please charge any additional fees or credit any overpayment to our Deposit Account No. 01-2300, referencing Attorney Docket No. 030863-00002.

Respectfully submitted,

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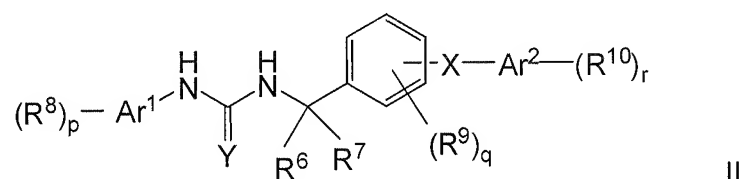
PATENT NO. : 7589112 B2
 APPLICATION NO. : 10/532,574
 ISSUE DATE : September 15, 2009
 INVENTOR(S) : Hans-Peter BUCHSTALLER et al.

It is certified that an error appears or errors appear in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

In the Claims:

Please delete Claim 1, at Column 356, and insert therefor:

1. A compound of formula II,



wherein

Ar¹ is phenyl,

Ar² is pyridinyl,

R⁶, R⁷ are independently H or A,

R⁸, R⁹ and R¹⁰ are independently selected from the group consisting of H, A, cycloalkyl comprising 3 to 7 carbon atoms, Hal, CH₂Hal, CH(Hal)₂, C(Hal)₃, NO₂, (CH₂)ₙCN, (CH₂)ₙNR¹¹R¹², (CH₂)ₙO(CH₂)ₖNR¹¹R¹², (CH₂)ₙNR¹¹(CH₂)ₖNR¹¹R¹², (CH₂)ₙO(CH₂)ₖOR¹¹, (CH₂)ₙNR¹¹(CH₂)ₖOR¹², (CH₂)ₙCOOR¹³, (CH₂)ₙCOR¹³, (CH₂)ₙCONR¹¹R¹², (CH₂)ₙNR¹¹COR¹³, (CH₂)ₙNR⁸CONR¹¹R¹², (CH₂)ₙNR¹¹SO₂A, (CH₂)ₙSO₂NR¹¹R¹², (CH₂)ₙS(O)ₓR¹³, (CH₂)ₙOC(O)R¹³, (CH₂)ₙCOR¹³, (CH₂)ₙSR¹¹, CH=N-OA, CH₂CH=N-OA, (CH₂)ₙNHOA, (CH₂)ₙCH=N-R¹¹, (CH₂)ₙOC(O)NR¹¹R¹², (CH₂)ₙNR¹¹COOR¹³, (CH₂)ₙN(R¹¹)CH₂CH₂OR¹³, (CH₂)ₙN(R¹¹)CH₂CH₂OCF₃, (CH₂)ₙN(R¹¹)C(R¹³)HCOOR¹², (CH₂)ₙN(R¹¹)C(R¹³)HCOOR¹¹,

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Page 2 of 3

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 ISSUE DATE : September 15, 2009
 INVENTOR(S) : Hans-Peter BUCHSTALLER et al.

It is certified that an error appears or errors appear in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

Amendments to Claim 1 continued:

$(\text{CH}_2)_n\text{N}(\text{R}^{11})\text{CH}_2\text{CH}_2\text{N}(\text{R}^{12})\text{CH}_2\text{COOR}^{11}$, $(\text{CH}_2)_n\text{N}(\text{R}^{11})\text{CH}_2\text{CH}_2\text{NR}^{11}\text{R}^{12}$,
 $\text{CH}=\text{CHCOOR}^{13}$, $\text{CH}=\text{CHCH}_2\text{NR}^{11}\text{R}^{12}$, $\text{CH}=\text{CHCH}_2\text{NR}^{11}\text{R}^{12}$, $\text{CH}=\text{CHCH}_2\text{OR}^{13}$,
 $(\text{CH}_2)_n\text{N}(\text{COOR}^{13})\text{COOR}^{14}$, $(\text{CH}_2)_n\text{N}(\text{CONH}_2)\text{COOR}^{13}$, $(\text{CH}_2)_n\text{N}(\text{CONH}_2)\text{CONH}_2$,
 $(\text{CH}_2)_n\text{N}(\text{CH}_2\text{COOR}^{13})\text{COOR}^{14}$, $(\text{CH}_2)_n\text{N}(\text{CH}_2\text{CONH}_2)\text{COOR}^{13}$,
 $(\text{CH}_2)_n\text{N}(\text{CH}_2\text{CONH}_2)\text{CONH}_2$, $(\text{CH}_2)_n\text{CHR}^{13}\text{COR}^{14}$, $(\text{CH}_2)_n\text{CHR}^{13}\text{COOR}^{14}$,
 $(\text{CH}_2)_n\text{CHR}^{13}\text{CH}_2\text{OR}^{14}$, $(\text{CH}_2)_n\text{OCN}$ and $(\text{CH}_2)_n\text{NCO}$,

wherein

R^{11} , R^{12} are independently selected from the group consisting of H, A, and (CH_2) ,
 R^{13} , R^{14} are independently selected from the group consisting of H, Hal, A, and $(\text{CH}_2)_m\text{Ar}^4$,

A is selected from the group consisting of alkyl, alkenyl, cycloalkyl, alkylenecycloalkyl, alkoxy, and alkoxyalkyl,

Ar^3 , Ar^4 are independently aromatic hydrocarbon residues comprising 5 to 12 carbon atoms which are optionally substituted by one or more substituents, selected from the group consisting of A, Hal, NO_2 , CN, OR^{15} , $\text{NR}^{15}\text{R}^{16}$, COOR^{15} , $\text{CONR}^{15}\text{R}^{16}$, $\text{NR}^{15}\text{COR}^{16}$, $\text{NR}^{15}\text{CONR}^{15}\text{R}^{16}$, $\text{NR}^{16}\text{SO}_2\text{A}$, COR^{15} , $\text{SO}_2\text{R}^{15}\text{R}^{16}$, $\text{S}(\text{O})_u\text{A}$ and OOCR^{15} ,
 R^{15} , R^{16} are independently selected from the group consisting of H, A, and $(\text{CH}_2)_m\text{Ar}^6$, wherein

Ar^6 is a 5- or 6-membered aromatic hydrocarbon which is optionally substituted by one or more substituents selected from the group consisting of methyl, ethyl, propyl, 2-propyl, tert.-butyl, Hal, CN, OH, NH_2 and CF_3 ,

k, n and m are independently of one another 0, 1, 2, 3, 4, or 5;

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CERTIFICATE OF CORRECTION**

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PATENT NO. : 7589112 B2
APPLICATION NO. : 10/532,574
ISSUE DATE : September 15, 2009
INVENTOR(S) : Hans-Peter BUCHSTALLER et al.

It is certified that an error appears or errors appear in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

Amendments to Claim 1 continued:

X is O,
Y is O or S,
p, r are independently 0, 1, 2, 3, 4 or 5,
q is 0, 1, 2, 3 or 4,
u is 0, 1, 2 or 3,
and
Hal is selected from the group consisting of F, Cl, Br and I;
and a pharmaceutically acceptable salt thereof.

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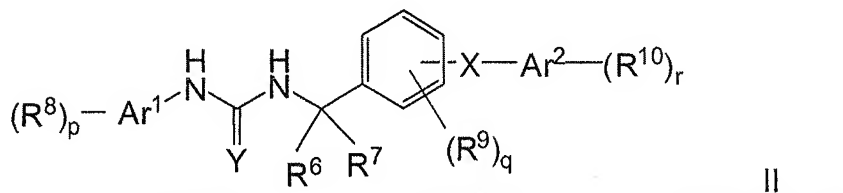
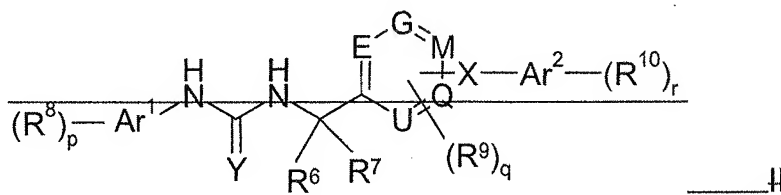
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ATTACHMENT A

In the Claims

1. (Cancelled)
2. (Cancelled)
3. (Currently amended) ~~The A compound according to claim 1, selected from the compounds of formula II,~~



wherein

Ar^1, Ar^2 are selected independently from one another from aromatic hydrocarbons containing 6 to 14 carbon atoms and ethylenical unsaturated or aromatic heterocyclic residues containing 3 to 10 carbon atoms and one or two hetero atoms, independently selected from N, O and S, is selected from the group consisting of phenyl, pyridinyl, quinolinyl, isoquinolinyl, thiophenyl, benzothiadiazolyl, isoxazolyl and oxazolyl,

Ar² is pyridinyl.

R⁶, R⁷ are independently selected from ~~a the meanings given for R⁸, R⁹ and R¹⁰; or R⁶ and R⁷ together form a carbocyclic residue comprising 3 to 7 carbon atoms or a heterocyclic residue comprising 1, 2 or 3 hetero atoms, selected from the group consisting of O, N and S, and 2 to 6 carbon atoms, said carbocyclic or heterocyclic residue being unsubstituted or comprising 1, 2 or 3 substituents, selected from the meanings given for R⁸, R⁹ and R¹⁰; H or A.~~

E, G, M, Q and U ~~are selected, independently from one another, from carbon atoms and nitrogen atoms, with the proviso that one or more of E, G, M, Q and U are carbon atoms and that X is bonded to a carbon atom,~~

R⁸, R⁹ and R¹⁰ are independently selected from the group consisting of H, A, cycloalkyl comprising 3 to 7 carbon atoms, Hal, CH₂Hal, CH(Hal)₂, C(Hal)₃, NO₂, (CH₂)_nCN, (CH₂)_nNR¹¹R¹², (CH₂)_nO(CH₂)_kNR¹¹R¹², (CH₂)_nNR¹¹(CH₂)_kNR¹¹R¹², (CH₂)_nO(CH₂)_kOR¹¹, (CH₂)_nNR¹¹(CH₂)_kOR¹², (CH₂)_nCOOR¹³, (CH₂)_nCOR¹³, (CH₂)_nCONR¹¹R¹², (CH₂)_nNR¹¹COR¹³, (CH₂)_nNR⁸CONR¹¹R¹², (CH₂)_nNR¹¹SO₂A, (CH₂)_nSO₂NR¹¹R¹², (CH₂)_nS(O)_uR¹³, (CH₂)_nOC(O)R¹³, (CH₂)_nCOR¹³, (CH₂)_nSR¹¹, CH=N-OA, CH₂CH=N-OA, (CH₂)_nNHOA, (CH₂)_nCH=N-R¹¹, (CH₂)_nOC(O)NR¹¹R¹², (CH₂)_nNR¹¹COOR¹³, (CH₂)_nN(R¹¹)CH₂CH₂OR¹³, (CH₂)_nN(R¹¹)CH₂CH₂OCF₃,

$(CH_2)_nN(R^{11})C(R^{13})HCOOR^8$, $(CH_2)_nN(R^{11})C(R^{13})HCOOR^8$,
 $(CH_2)_nN(R^{11})CH_2CH_2N(R^{12})CH_2COOR^8$,
 $(CH_2)_nN(R^8)CH_2CH_2NR^{12}R^8$, $CH=CHCOOR^{13}$,
 $CH=CHCH_2NR^{11}R^{12}$, $CH=CHCH_2NR^{11}R^{12}$,
 $CH=CHCH_2OR^{13}$, $(CH_2)_nN(COOR^{13})COOR^{14}$,
 $(CH_2)_nN(CONH_2)COOR^{13}$, $(CH_2)_nN(CONH_2)CONH_2$,
 $(CH_2)_nN(CH_2COOR^{13})COOR^{14}$,
 $(CH_2)_nN(CH_2CONH_2)COOR^{13}$,
 $(CH_2)_nN(CH_2CONH_2)CONH_2$, $(CH_2)_nCHR^{13}COR^{14}$,
 $(CH_2)_nCHR^{13}COOR^{14}$, $(CH_2)_nCHR^{13}CH_2OR^{14}$, $(CH_2)_nOCN$
and $(CH_2)_nNCO$, H, A, cycloalkyl comprising 3 to 7 carbon
atoms, Hal, CH_2Hal , $CH(Hal)_2$, $C(Hal)_3$, NO_2 , $(CH_2)_nCN$,
 $(CH_2)_nNR^{11}R^{12}$, $(CH_2)_nO(CH_2)_kNR^{11}R^{12}$,
 $(CH_2)_nNR^{11}(CH_2)_kNR^{11}R^{12}$, $(CH_2)_nO(CH_2)_kOR^{11}$,
 $(CH_2)_nNR^{11}(CH_2)_kOR^{12}$, $(CH_2)_nCOOR^{13}$, $(CH_2)_nCOR^{13}$,
 $(CH_2)_nCONR^{11}R^{12}$, $(CH_2)_nNR^{11}COR^{13}$,
 $(CH_2)_nNR^{11}CONR^{11}R^{12}$, $(CH_2)_nNR^{11}SO_2A$,
 $(CH_2)_nSO_2NR^{11}R^{12}$, $(CH_2)_nS(O)_uR^{13}$, $(CH_2)_nOC(O)R^{13}$,
 $(CH_2)_nCOR^{13}$, $(CH_2)_nSR^{11}$, $CH=N-OA$, $CH_2CH=N-OA$,
 $(CH_2)_nNHOA$, $(CH_2)_nCH=N-R^{11}$, $(CH_2)_nOC(O)NR^{11}R^{12}$,
 $(CH_2)_nNR^{11}COOR^{13}$, $(CH_2)_nN(R^{11})CH_2CH_2OR^{13}$,
 $(CH_2)_nN(R^{11})CH_2CH_2OCF_3$, $(CH_2)_nN(R^{11})C(R^{13})HCOOR^{12}$,
 $(CH_2)_nN(R^{11})C(R^{13})HCOOR^{11}$,
 $(CH_2)_nN(R^{11})CH_2CH_2N(R^{12})CH_2COOR^{11}$,
 $(CH_2)_nN(R^{11})CH_2CH_2NR^{11}R^{12}$, $CH=CHCOOR^{13}$,
 $CH=CHCH_2NR^{11}R^{12}$, $CH=CHCH_2NR^{11}R^{12}$,
 $CH=CHCH_2OR^{13}$, $(CH_2)_nN(COOR^{13})COOR^{14}$,
 $(CH_2)_nN(CONH_2)COOR^{13}$, $(CH_2)_nN(CONH_2)CONH_2$,
 $(CH_2)_nN(CH_2COOR^{13})COOR^{14}$,

$(CH_2)_nN(CH_2CONH_2)COOR^{13}$,
 $(CH_2)_nN(CH_2CONH_2)CONH_2$, $(CH_2)_nCHR^{13}COR^{14}$,
 $(CH_2)_nCHR^{13}COOR^{14}$, $(CH_2)_nCHR^{13}CH_2OR^{14}$, $(CH_2)_nOCN$
and $(CH_2)_nNCO$, wherein

R^{11} , R^{12} are independently selected from the group consisting of H, A, and $(CH_2)_mAr^3$ and $(CH_2)_mHet$, or in $NR^{11}R^{12}$,

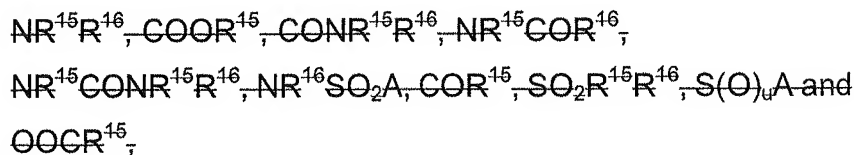
~~R^{11} and R^{12} form, together with the N-atom they are bound to, a 5-, 6- or 7-membered heterocyclus which optionally contains 1 or 2 additional hetero atoms, selected from N, O and S,~~

R^{13} , R^{14} are independently selected from the group consisting of H, Hal, A, and $(CH_2)_mAr^4$ and $(CH_2)_mHet$,

A is selected from the group consisting of alkyl, alkenyl, cycloalkyl, alkylencycloalkyl, alkoxy, and alkoxyalkyl and saturated heterocyclyl,

Ar^3 , Ar^4 are independently ~~from one another~~ aromatic hydrocarbon residues comprising 5 to 12 carbon atoms which are optionally substituted by one or more substituents, selected from the group consisting of A, Hal, NO_2 , CN, OR^{15} , $NR^{15}R^{16}$, $COOR^{15}$, $CONR^{15}R^{16}$, $NR^{15}COR^{16}$, $NR^{15}CONR^{15}R^{16}$, $NR^{16}SO_2A$, COR^{15} , $SO_2R^{15}R^{16}$, $S(O)_uA$ and $OOCR^{15}$,

~~Het is a saturated, unsaturated or aromatic heterocyclic residue which is optionally substituted by one or more substituents, selected from the group consisting of A, Hal, NO_2 , CN, OR^{15} ,~~



$\text{R}^{15}, \text{R}^{16}$ are independently selected from the group consisting of H, A, and $(\text{CH}_2)_m\text{Ar}^6$, wherein

Ar^6 is a 5- or 6-membered aromatic hydrocarbon which is optionally substituted by one or more substituents selected from the group consisting of methyl, ethyl, propyl, 2-propyl, tert.-butyl, Hal, CN, OH, NH_2 and CF_3 ,

k, n and m are independently of one another 0, 1, 2, 3, 4, or 5;

X represents a bond or is O or CH_2 , or $(\text{CHR}^{11})_h\text{-Q-(CHR}^{12})_i$, wherein

Q is selected from a the group consisting of O, S, N-R^{15} , $(\text{CHAl}_2)_j$, $(\text{O-CHR}^{18})_j$, $(\text{CHR}^{18}\text{-O})_j$, $\text{CR}^{18}=\text{CR}^{19}$, $(\text{O-CHR}^{18}\text{CHR}^{19})_j$, $\text{CHR}^{18}\text{CHR}^{19}\text{-O}$, C=O , C=S , C=NR^{15} , $\text{CH(OR}^{15})$, $\text{C(OR}^{15})(\text{OR}^{20})$, C(=O)O , OC(=O) , OC(=O)O , $\text{C(=)N(R}^{15})$, $\text{N(R}^{15})\text{C(=O)}$, $\text{OC(=O)N(R}^{15})$, $\text{N(R}^{15})\text{C(=O)O}$, CH=N-O , CH=N-NR^{15} , OC(O)NR^{15} , $\text{NR}^{15}\text{C(O)O}$, S=O , SO_2 , $\text{SO}_2\text{NR}^{15}$ and $\text{NR}^{15}\text{SO}_2$, wherein

h, i are independently from each other 0, 1, 2, 3, 4, 5 or 6, and

j is 1, 2, 3, 4, 5 or 6,

Y is selected from O, and S, NR^{21} , $\text{C(R}^{22})\text{-NO}_2$, $\text{C(R}^{22})\text{-CN}$ and

$G(CN)_2$, wherein

R^{24} is independently selected from the meanings given for R^{13} ,
 R^{14} , and

R^{22} is independently selected from the meanings given for R^{11} ,
 R^{12} ,

p, r are independently from one another 0, 1, 2, 3, 4 or 5,

q is 0, 1, 2, 3 or 4,

u is 0, 1, 2 or 3,

and

Hal is independently selected from the group consisting of F, Cl,
Br and I;

and pharmaceutically acceptable derivatives, salts and solvates thereof.

4. (Currently Amended) The compound according to claim ~~4~~3, selected from the compounds of formula ~~IIc, II_d, IIe, II_f, IIg, IIh, Ili, IIj, IIk, IIL, II_m, II_n, IIo, II_p, II_q, II_r, II_s, II_t, II_u, II_v, II_w and II_x,~~

Marked up copy of col. 356 reflecting the amendment to claim 1

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ylene urea derivatives being substituted on the methylene moiety, or in an analogous manner thereof.

Example A

Injection Vials

A solution of 100 g of an active compound of the formula I and 5 g of disodium hydrogenphosphate is adjusted to pH 6.5 in 3 l of double-distilled water using 2N hydrochloric acid, sterile-filtered, dispensed into injection vials, lyophilized under sterile conditions and aseptically sealed. Each injection vial contains 5 mg of active compound.

Example B

Suppositories

A mixture of 20 g of an active compound of the formula I is fused with 100 g of soya lecithin and 1400 g of cocoa butter, poured into moulds and allowed to cool. Each suppository contains 20 mg of active compound.

Example C

Solution

A solution of 1 g of an active compound of the formula I, 9.38 g of $\text{NaH}_2\text{PO}_4 \cdot 2\text{H}_2\text{O}$, 28.48 g of $\text{Na}_2\text{HPO}_4 \cdot 12\text{H}_2\text{O}$ and 0.1 g of benzalkonium chloride in 940 ml of double-distilled water is prepared. It is adjusted to pH 6.8, made up to 1 l and sterilized by irradiation. This solution can be used in the form of eye drops.

Example D

Ointment

500 mg of an active compound of the formula I is mixed with 99.5 g of petroleum jelly under aseptic conditions.

Example E

Tablets

A mixture of 1 kg of active compound of the formula I, 4 kg of lactose, 1.2 kg of potato starch, 0.2 kg of talc and 0.1 kg of magnesium stearate is compressed to give tablets in a customary manner such that each tablet contains 10 mg of active compound.

Example F

Coated Tablets

Analogously to Example E, tablets are pressed and are then coated in a customary manner using a coating of sucrose, potato starch, talc, tragacanth and colourant.

Example G

Capsules

2 kg of active compound of the formula I are dispensed into hard gelatin capsules in a customary manner such that each capsule contains 20 mg of the active compound.

Example H

Ampoules

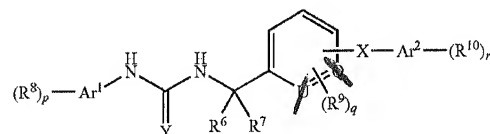
A solution of 1 kg of active compound of the formula I in 60 l of double-distilled water is sterile-filtered, dispensed into

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ampoules, lyophilized under sterile conditions and aseptically sealed. Each ampoule contains 10 mg of active compound.

The invention claimed is:

1. A compound of formula II,



wherein

Ar¹ is phenyl,

Ar² is pyridinyl,

R⁶, R⁷ are independently H or A,

R⁸, R⁹ and R¹⁰ are independently selected from the group consisting of H, A, cycloalkyl comprising 3 to 7 carbon atoms, Hal, CH₂Hal, CH(Hal)₂, C(Hal)₃, NO₂, (CH₂)_nCN, (CH₂)_nNR¹¹R¹², (CH₂)_nO(CH₂)_kNR¹¹R¹², (CH₂)_nNR¹¹(CH₂)_kNR¹¹R¹², (CH₂)_nO(CH₂)_kOR¹¹, (CH₂)_nNR¹¹(CH₂)_kOR¹², (CH₂)_nCOOR¹³, (CH₂)_nCOR¹³, (CH₂)_nCONR¹¹R¹², (CH₂)_nNR¹¹COR¹³, (CH₂)_nNR¹¹CONR¹¹R¹², (CH₂)_nNR¹¹SO₂A, (CH₂)_nSO₂NR¹¹R¹², (CH₂)_nS(O)₂R¹³, (CH₂)_nOC(O)R¹³, (CH₂)_nCOR¹³, (CH₂)_nSR¹¹, CH=NR¹¹OA, CH₂CH=NR¹¹OA, (CH₂)_nNHOA, (CH₂)_nCH=NR¹¹R¹², (CH₂)_nOC(O)NR¹¹R¹², (CH₂)_nNR¹¹COOR¹³, (CH₂)_nN(R¹¹)CH₂CH₂OR¹³, (CH₂)_nN(R¹¹)CH₂CH₂OCF₃, (CH₂)_nN(R¹¹)C(R¹³)HCOOR¹², (CH₂)_nN(R¹¹)C(R¹³)HCOOR¹¹, (CH₂)_nN(R¹¹)CH₂CH₂N(R¹²)CH₂COOR¹¹, (CH₂)_nN(R¹¹)CH₂CH₂NR¹¹R¹², CH=CHCOOR¹³, CH=CHCH₂NR¹¹R¹², CH=CHCH₂OR¹³, (CH₂)_nN(COOR¹³)COOR¹⁴, (CH₂)_nN(CONH₂)COOR¹³, (CH₂)_nN(CONH₂)CONH₂, (CH₂)_nN(CH₂COOR¹³)COOR¹⁴, (CH₂)_nN(CH₂CONH₂)COOR¹³, (CH₂)_nN(CH₂CONH₂)CONH₂, (CH₂)_nCHR¹³COOR¹⁴, (CH₂)_nCHR¹³COOR¹⁴, (CH₂)_nCHR¹³CH₂OR¹⁴, (CH₂)_nOCN and (CH₂)_nNCO, wherein

R¹¹, R¹² are independently selected from the group consisting of H, A and (CH₂)_n,

R¹³, R¹⁴ are independently selected from the group consisting of H, Hal, A and (CH₂)_mAr⁴,

A is selected from the group consisting of alkyl, alkenyl, cycloalkyl, alkylencycloalkyl, alkoxy and alkoxyalkyl, Ar³, Ar⁴ are independently aromatic hydrocarbon residues comprising 5 to 12 carbon atoms which are optionally substituted by one or more substituents, selected from the group consisting of A, Hal, NO₂, CN, OR¹⁵, NR¹⁵R¹⁶, COOR¹⁵, CONR¹⁵R¹⁶, NR¹⁵COOR¹⁶, NR¹⁵CONR¹⁵R¹⁶, NR¹⁶SO₂A, COR¹⁵, SO₂R¹⁵R¹⁶, S(O)₂A and OOCR¹⁵,

R¹⁵, R¹⁶ are independently selected from the group consisting of H, A, and (CH₂)_mAr⁶, wherein

Ar⁶ is a 5- or 6-membered aromatic hydrocarbon which is optionally substituted by one or more substituents selected from the group consisting of methyl, ethyl, propyl, 2-propyl, tert.-butyl, Hal, CN, OH, NH₂ and CF₃,

k, n and m are independently of one another 0, 1, 2, 3, 4, or 5;

X is O,

Y is O or S,

p, r are independently 0, 1, 2, 3, 4 or 5,

q is 0, 1, 2, 3 or 4,

delete
"u" and
"Q"